

10/536,891

=> file casreact

FILE 'CASREACT' ENTERED AT 13:09:36 ON 20 MAR 2007

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FILE CONTENT:1840 - 17 Mar 2007 VOL 146 ISS 12

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*      CASREACT now has more than 12 million reactions      *
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Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L5 104 SEA FILE=CASREACT SSS FUL L3 (435 REACTIONS)

L6 3 SEA FILE=CASREACT L5 AND ZIRCONIU?

=> d l6 1-3 ibib abs fcrd

L6 ANSWER 1 OF 3 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:390922 CASREACT

TITLE: Stereoselective oxidation processes for the preparation of chiral substituted sulfoxides from the racemic sulfides

INVENTOR(S): Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad, Mohan; Kumar, Yatendra

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040635	A1	20060420	WO 2005-IB2946	20051004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,				

10/536,891

SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

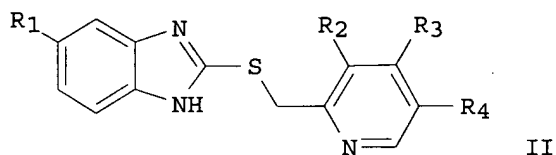
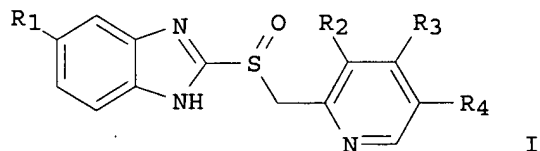
PRIORITY APPLN. INFO.:

IN 2004-DE1957 20041011

OTHER SOURCE(S):

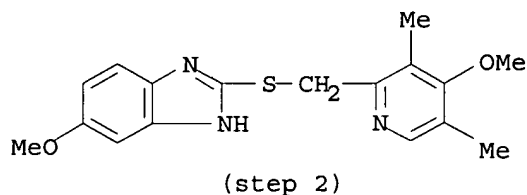
MARPAT 144:390922

GI

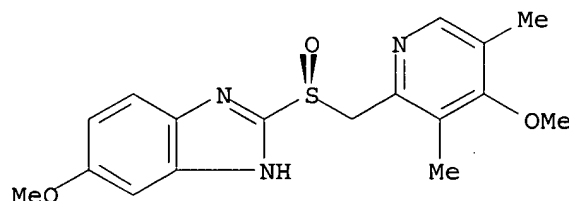


AB An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium), comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

RX(1) OF 3



1. $\text{Ti}(\text{OPr-i})_4$,
Di-Et L-tartrate
2. Cumene hydroperoxide,
Di-Et L-tartrate,
 $\text{EtN}(\text{Pr-i})_2$
3. KOH, MeOH



K

NOTE: optimization study, stereoselective

CON: STAGE(1) room temperature -> 50 deg C; 1.5 hours; 25 - 30 deg C

STAGE(2) 25 - 30 deg C; 3 hours, 25 - 30 deg C

STAGE(3) 25 - 35 deg C; 15 - 16 hours, 25 - 35 deg C

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 144:51582 CASREACT

TITLE: Process for the preparation of pyridin-2-ylmethylsulfinyl-1H-benzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes.

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118569	A1	20051215	WO 2005-EP52471	20050531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005250175	A1	20051215	AU 2005-250175	20050531

CA 2568652 A1 20051215 CA 2005-2568652 20050531

EP 1758889 A1 20070307 EP 2005-752651 20050531

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
HR, LV, MK, YU

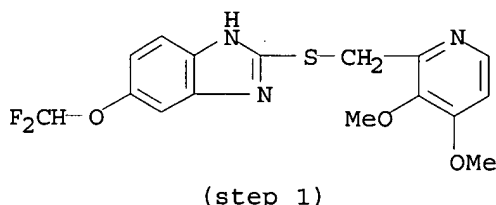
PRIORITY APPLN. INFO.:

EP 2004-102467 20040602

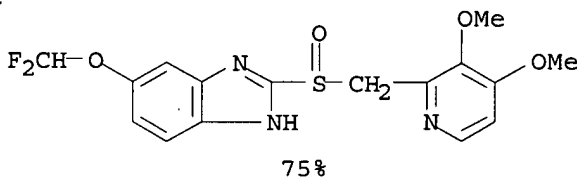
WO 2005-EP52471 20050531

AB A process for preparing mixts. of enantiomers of proton pump inhibitors (PPIs) having a sulfinyl structure comprises oxidation of the corresponding sulfides in the presence of a mixture of enantiomers of chiral zirconium or hafnium complexes. Thus, 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole was heated with DL-tartaric acid bis(N-pyrrolidinamide) and zirconium tetra-n-propoxide in Me iso-Bu ketone at 40° for 1 h followed by addition of diisopropylethylamine and slow addition of cumene hydroperoxide to give 75% 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-1H-benzimidazole.

RX(1) OF 1



1. C:23519-77-9,
C:871366-86-8,
i-BuCOMe, PrOH
2. Cumene hydroperoxide,
EtN(Pr-i)2
3. Na2S2O3, NaHCO3,
i-BuCOMe, Water



NOTE: optimization study

CON: STAGE(1) 1 hour, 40 deg C; 40 deg C -> room temperature

STAGE(2) room temperature; 5 - 24 hours, room temperature

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 141:54346 CASREACT

TITLE: A process for preparing (S)-pantoprazole via
stereoselective oxidation of
pyridinylmethylsulfinylbenzimidazole derivative in the
presence of L-tartaric acid derivative and chiral
zirconium or hafnium catalyst

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

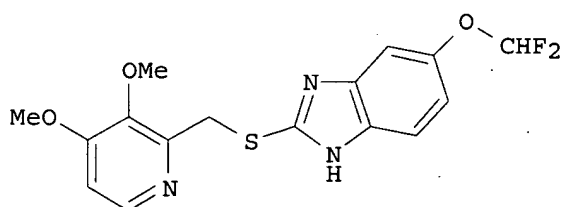
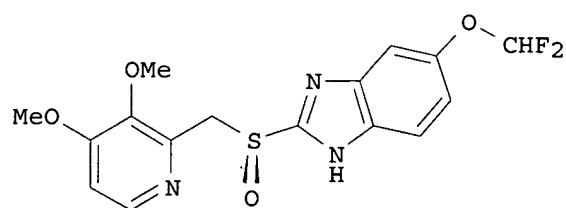
PATENT NO.

KIND DATE

APPLICATION NO. DATE

WO 2004052881	A2	20040624	WO 2003-EP13604	20031203
WO 2004052881	A3	20041104		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2507889	A1	20040624	CA 2003-2507889	20031203
AU 2003293749	A1	20040630	AU 2003-293749	20031203
EP 1575941	A2	20050921	EP 2003-789113	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016702	A	20051018	BR 2003-16702	20031203
CN 1717402	A	20060104	CN 2003-80104409	20031203
JP 2006514985	T	20060518	JP 2005-502309	20031203
IN 2005MN00673	A	20051021	IN 2005-MN673	20050627
US 2006167262	A1	20060727	US 2005-536891	20051125
PRIORITY APPLN. INFO.:			EP 2002-27274	20021206
			DE 2003-10340254	20030829
			WO 2003-EP13604	20031203

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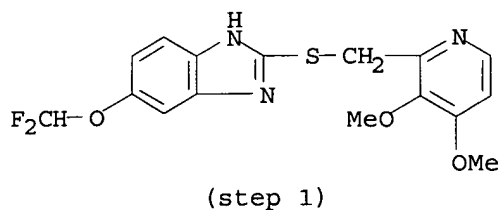


AB The invention relates to a novel process for preparing (S)-pantoprazole (I) via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative

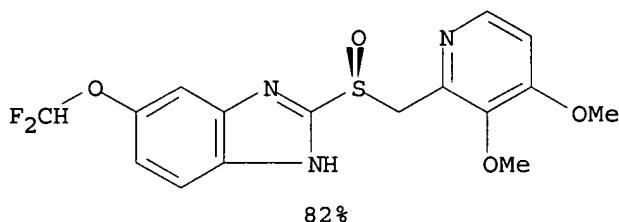
in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst. For instance, the title compound I, useful as proton pump inhibitor, was prepared from thiobenzimidazole derivative II in the presence of L-tartaric acid amide via Zr(IV) isopropoxide catalyzed oxidation by cumene hydroperoxide with a yield of 80% (optical purity was >98%, example 3).

10/536,891

RX(1) OF 1



1. C:63126-10-3,
i-BuCOMe
2. C:23519-77-9,
Me2CHOH
3. EtN(Pr-i)2,
Cumene hydroperoxide,
S:98-82-8
4. NaHCO3, Na2S2O3,
Me2CHOH, Water



NOTE: optimization study, optimized on catalyst, stereoselective
CON: STAGE(1) 40 - 45 deg C
STAGE(2) 40 - 45 deg C; 1 hour; 30 deg C
STAGE(3) 20 hours, 30 deg C

=> => file caplus

FILE 'CAPLUS' ENTERED AT 13:11:18 ON 20 MAR 2007

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FILE COVERS 1907 - 20 Mar 2007 VOL 146 ISS 13

FILE LAST UPDATED: 19 Mar 2007 (20070319/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

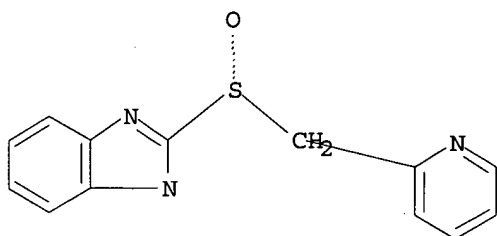
<http://www.cas.org/infopolicy.html>

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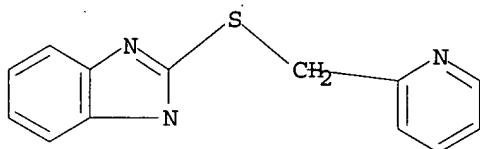
L7

STR

10/536,891



Structure attributes must be viewed using STN Express query preparation.
L8 STR



Structure attributes must be viewed using STN Express query preparation.

L9 2415 SEA FILE=REGISTRY SSS FUL L7
L10 3701 SEA FILE=REGISTRY SSS FUL L8
L11 5309 SEA FILE=CAPLUS L9 AND L10
L12 5 SEA FILE=CAPLUS L11 AND ZIRCONIU?

=> d l12 1-5 ibib abs hitstr

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:365469 CAPLUS

DOCUMENT NUMBER: 144:390922

TITLE: Stereoselective oxidation processes for the preparation of chiral substituted sulfoxides from the racemic sulfides

INVENTOR(S): Kumar, Neela Praveen; Khanna, Mahavir Singh; Prasad, Mohan; Kumar, Yatendra

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

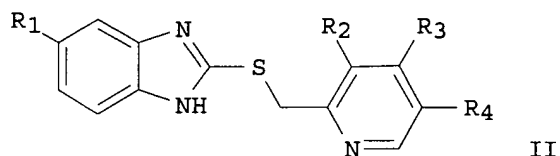
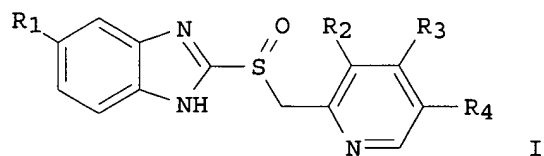
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040635	A1	20060420	WO 2005-IB2946	20051004
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

KG, KZ, MD, RU, TJ, TM
 PRIORITY APPLN. INFO.: IN 2004-DE1957 A 20041011
 OTHER SOURCE(S): CASREACT 144:390922; MARPAT 144:390922
 GI

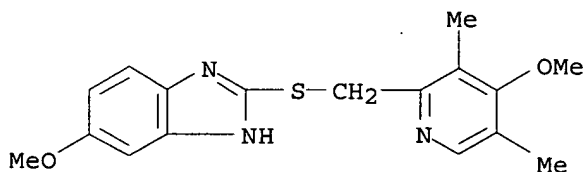


AB An enantioselective catalytic oxidation process for the preparation of an optically active enantiomer or an enantiomerically enriched form of a substituted pyridinylmethylsulfinylbenzimidazole [I; R1-R4 = H, C1-4 (un)branched alkyl, C1-4 (un)branched alkoxy, aryl, aryloxy], or its pharmaceutically acceptable salts (e.g., esomeprazole potassium), comprises oxidizing a prochiral sulfide (II; e.g., omeprazole sulfide) in the presence of a chiral transition metal complex [e.g., titanium isopropoxide and L-(+)-diethyl tartrate] and a base (e.g., diisopropylethylamine) in the absence of an organic solvent with an oxidant (e.g., cumene hydroperoxide) followed by an optional salification (e.g., potassium hydroxide).

IT 73590-85-9, Omeprazole sulfide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (stereoselective oxidation processes for the preparation of chiral substituted sulfoxides)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



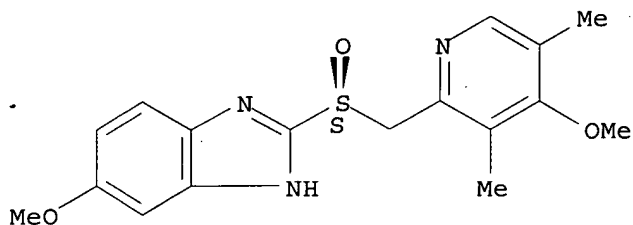
IT 793668-06-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (stereoselective oxidation processes for the preparation of chiral substituted sulfoxides)

RN 793668-06-1 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, barium salt (9CI) (CA INDEX NAME)

10/536,891

Absolute stereochemistry. Rotation (-).



● 1/2 Ba

IT 161796-81-2P 161796-84-5P

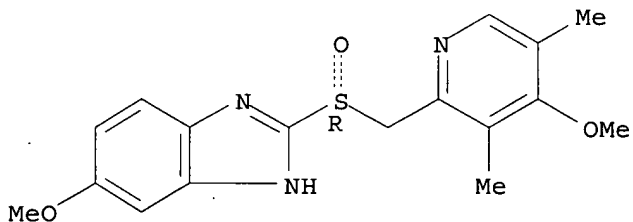
RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective oxidation processes for the preparation of chiral substituted sulfoxides)

RN 161796-81-2 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

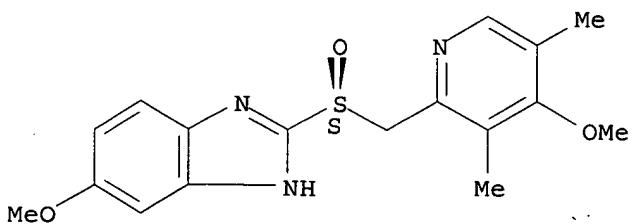


● K

RN 161796-84-5 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● K

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1314518 CAPLUS

DOCUMENT NUMBER: 144:51582

TITLE: Process for the preparation of pyridin-2-ylmethylsulfinyl-1H-benzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes.

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma AG, Germany

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118569	A1	20051215	WO 2005-EP52471	20050531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005250175	A1	20051215	AU 2005-250175	20050531
CA 2568652	A1	20051215	CA 2005-2568652	20050531
EP 1758889	A1	20070307	EP 2005-752651	20050531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.: EP 2004-102467 A 20040602
WO 2005-EP52471 W 20050531

OTHER SOURCE(S): CASREACT 144:51582

AB A process for preparing mixts. of enantiomers of proton pump inhibitors (PPIs) having a sulfinyl structure comprises oxidation of the corresponding sulfides in the presence of a mixture of enantiomers of chiral zirconium or hafnium complexes. Thus, 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole was heated with DL-tartaric acid bis(N-pyrrolidinamide) and zirconium tetra-n-propoxide in Me iso-Bu ketone at 40° for 1 h followed by addition of diisopropylethylamine and slow addition of cumene hydroperoxide to give 75% 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-1H-benzimidazole.

IT 73590-58-6P 102625-70-7P, 5-Difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylsulfinyl]-1H-benzimidazole
103577-45-3P 117976-89-3P

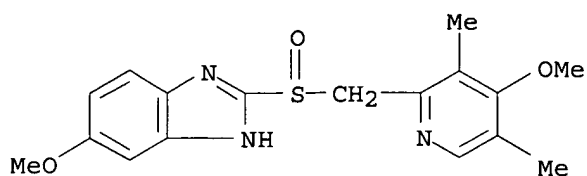
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; preparation of pyridinylmethylsulfinylbenzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes)

RN 73590-58-6 CAPLUS

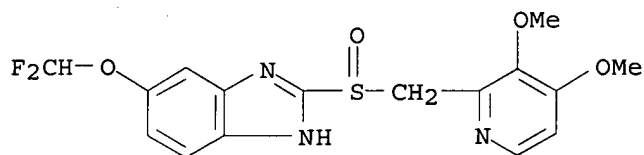
CN 1H-Benzimidazole, 6-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

10/536,891



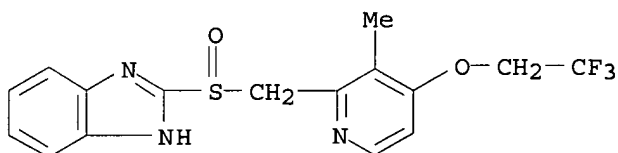
RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



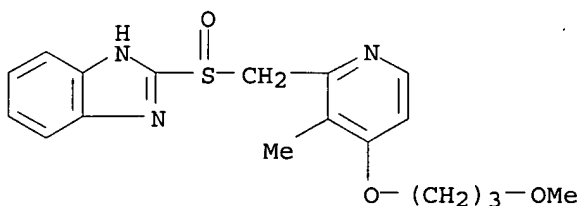
RN 103577-45-3 CAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



RN 117976-89-3 CAPLUS

CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



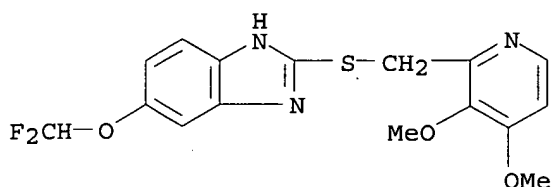
IT 102625-64-9, 5-Difluoromethoxy-2-[[3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyridinylmethylsulfinylbenzimidazoles via oxidation of the corresponding sulfides in the presence of zirconium or hafnium complexes)

RN 102625-64-9 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methylthio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:515505 CAPLUS

DOCUMENT NUMBER: 141:71546

TITLE: Process for preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and 2-(2-pyridylmethylsulfinyl)-1H-imidazo[4,5-b]pyridine as proton pump inhibitors (PPI)

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052882	A1	20040624	WO 2003-EP13605	20031203
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2507807	A1	20040624	CA 2003-2507807	20031203
AU 2003289948	A1	20040630	AU 2003-289948	20031203
EP 1578742	A1	20050928	EP 2003-782288	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017005	A	20051025	BR 2003-17005	20031203
CN 1717403	A	20060104	CN 2003-80104410	20031203
JP 2006516261	T	20060629	JP 2005-502310	20031203
US 2005288334	A1	20051229	US 2005-536766	20050527
NO 2005003099	A	20050624	NO 2005-3099	20050624
IN 2005MN00674	A	20051021	IN 2005-MN674	20050627
PRIORITY APPLN. INFO.:				EP 2002-27273 A 20021206
				DE 2003-10340255 A 20030829
				WO 2003-EP13605 W 20031203

AB Described is a process for preparing optically pure PPI having a sulfinyl structure in enantiomerically pure or enantiomerically enriched form by oxidation of the corresponding sulfides in the presence of a chiral zirconium or hafnium complex. Thus, 20.2 g 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methylthio]-1H-benzimidazole together with 17.9 g di-Et (+)-tartrate, 13.4 g zirconium(IV) isopropoxide/isopropanol complex and 0.1 mL H₂O were suspended in 100 mL Me iso-Bu ketone and heated at 40° for one hour to give an almost clear solution. After cooling to room temperature, 4.1 mL N-ethyl-diisopropylamine was added, followed by slowly metering 11 mL cumene hydroperoxide, and the

mixture was stirred at room temperature until the oxidation process to give, after

workup, (-)-pantoprazole as a beige powder of m.p. 145° (decomposition) and an optical purity of >95%. After recrystn. from isopropanol, a clear crystal of m.p. 147-149° (decomposition) with an optical rotation of a D20 = -140° (c = 0.5, MeOH) was obtained.

IT 119141-88-7P, (S)-5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 119141-89-8P, (R)-5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 138530-94-6P, (R)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 138530-95-7P, (S)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 142678-35-1P 142706-18-1P 161796-78-7P 177795-59-4P,

(S)-2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl)methyl]sulfinyl]-1H-benzimidazole 177795-60-7P, (R)-2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl)methyl]sulfinyl]-1H-benzimidazole

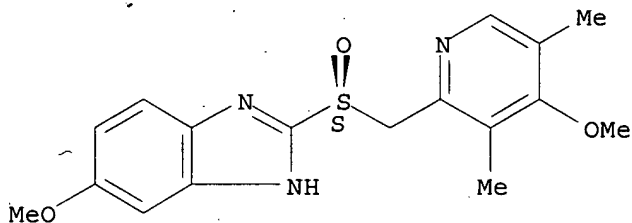
RL: *PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

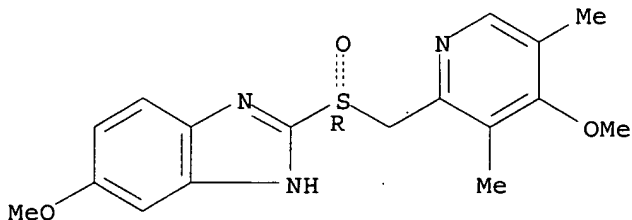
Absolute stereochemistry. Rotation (-).



RN 119141-89-8 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

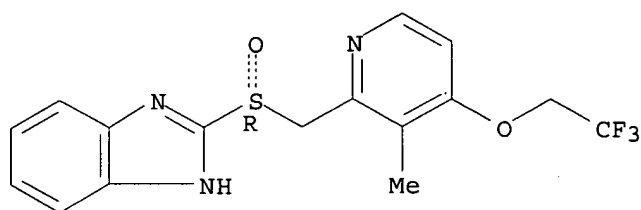


RN 138530-94-6 CAPLUS

CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

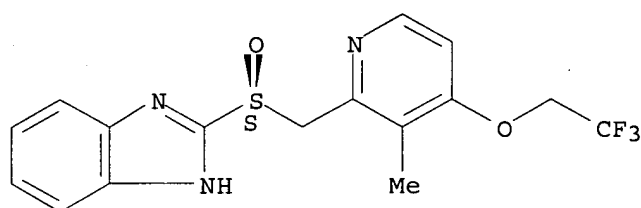
10/536,891



RN 138530-95-7 CAPLUS

CN 1H-Benzimidazole, 2-[(S)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

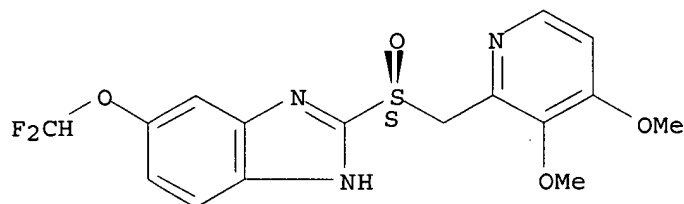
Absolute stereochemistry. Rotation (-).



RN 142678-35-1 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

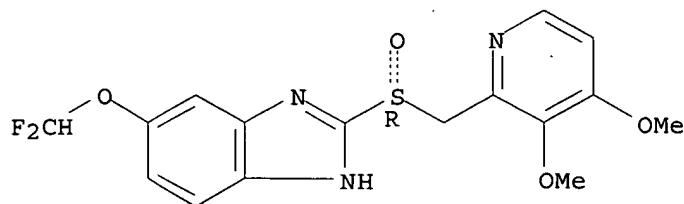
Absolute stereochemistry. Rotation (-).



RN 142706-18-1 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

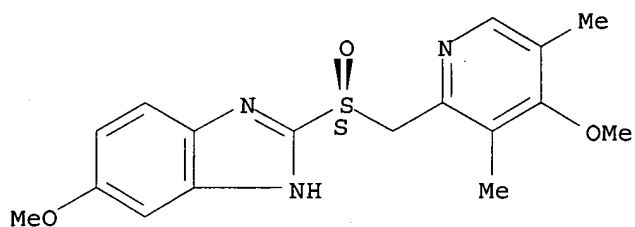


RN 161796-78-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/536,891

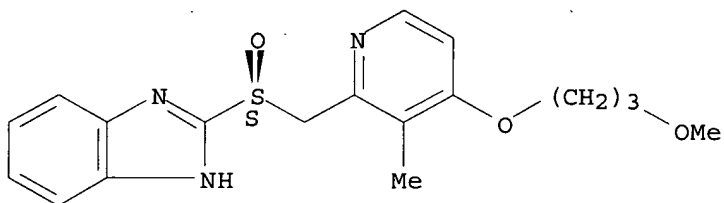


● Na

RN 177795-59-4 CAPLUS

CN 1H-Benzimidazole, 2-[(S)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

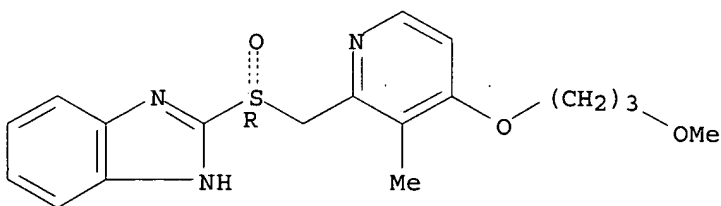
Absolute stereochemistry. Rotation (-).



RN 177795-60-7 CAPLUS

CN 1H-Benzimidazole, 2-[(R)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



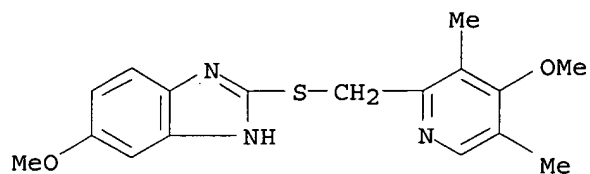
IT 73590-85-9, 5-Methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole 102625-64-9, 5-Difluoromethoxy-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]-1H-benzimidazole

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

RN 73590-85-9 CAPLUS

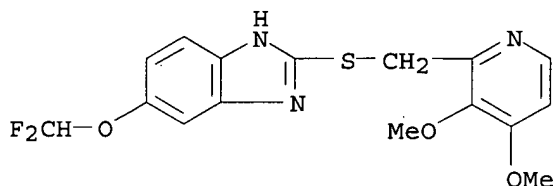
CN 1H-Benzimidazole, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

10/536,891



RN 102625-64-9 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:515504 CAPLUS

DOCUMENT NUMBER: 141:54346

TITLE: A process for preparing (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Weingart, Ralf Steffen

PATENT ASSIGNEE(S): Altana Pharma Ag, Germany

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

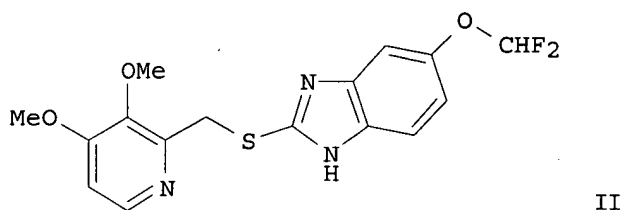
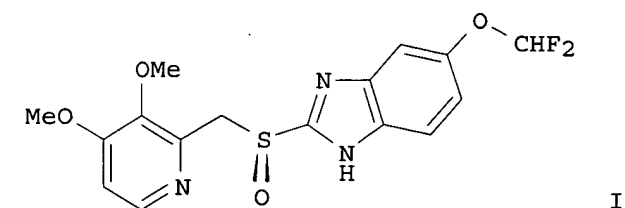
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052881	A2	20040624	WO 2003-EP13604	20031203
WO 2004052881	A3	20041104		
W:	AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, EG, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW			
RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
CA 2507889	A1	20040624	CA 2003-2507889	20031203
AU 2003293749	A1	20040630	AU 2003-293749	20031203
EP 1575941	A2	20050921	EP 2003-789113	20031203
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003016702	A	20051018	BR 2003-16702	20031203
CN 1717402	A	20060104	CN 2003-80104409	20031203
JP 2006514985	T	20060518	JP 2005-502309	20031203
IN 2005MN00673	A	20051021	IN 2005-MN673	20050627
US 2006167262	A1	20060727	US 2005-536891	20051125
PRIORITY APPLN. INFO.:			EP 2002-27274	A 20021206
			DE 2003-10340254	A 20030829

OTHER SOURCE(S):
GI

CASREACT 141:54346



AB The invention relates to a novel process for preparing (S)-pantoprazole (I) via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative

in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst. For instance, the title compound I, useful as proton pump inhibitor, was prepared from thiobenzimidazole derivative II in the presence of L-tartaric acid amide via Zr(IV) isopropoxide catalyzed oxidation by cumene hydroperoxide with a yield of 80% (optical purity was >98%, example 3).

IT 142678-35-1P, (S)-Pantoprazole

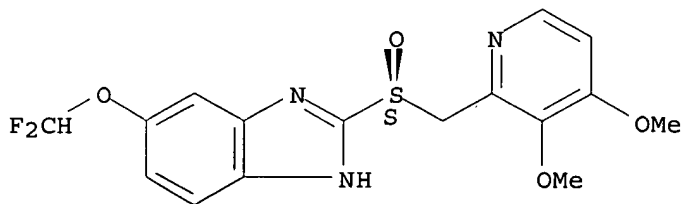
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 142678-35-1 CAPLUS

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



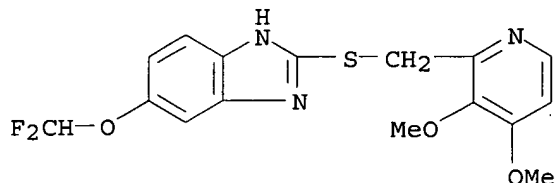
IT 102625-64-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium

10/536,891

catalyst)
RN 102625-64-9 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:594647 CAPLUS
DOCUMENT NUMBER: 137:145224
TITLE: An ATPase inhibitor-containing cosmetic products for the reduction of sweat acidity
INVENTOR(S): Beck, Jonathan Samuel; Burry, Jason Shaun; Evans, Richard Livesey; Granger, Dominic; Laprade, Raynald; Marsolais, Mireille
PATENT ASSIGNEE(S): Unilever PLC, UK; Unilever NV; Hindustan Lever Limited
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060402	A1	20020808	WO 2002-EP670	20020121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002146376	A1	20021010	US 2002-66183	20020131
US 6509010	B2	20030121		
PRIORITY APPLN. INFO.:		GB 2001-2562		A 20010201
OTHER SOURCE(S):		MARPAT 137:145224		

AB A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands comprises the topical application of a vacuolar-type H⁺-ATPase (V-ATPase) inhibitor to the skin in the vicinity of the eccrine glands. The method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compns. comprising a V-ATPase inhibitor and selected other components are also claimed. For example, olygomycin (at 20 µg/mL), bafilomycin A1 (at 6.2 µg/mL), and concanamycin A (at 0.1 µg/mL) all inhibit proton transfer out of the cells of the reabsorptive duct affecting the pH recovery by 12, 27, and 5%, resp., compared to 100% pH recovery in the control (no V-ATPase inhibitor).

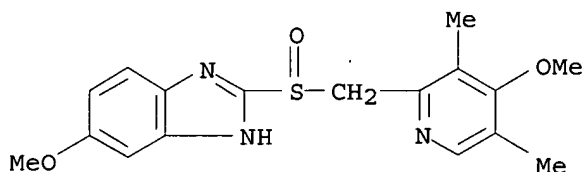
IT 73590-58-6, Omeprazole
RL: COS (Cosmetic use); MOA (Modifier or additive use); BIOL (Biological study); USES (Uses)

10/536,891

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 6-methoxy-2-[[{4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall

FILE 'USPATFULL' ENTERED AT 13:12:21 ON 20 MAR 2007

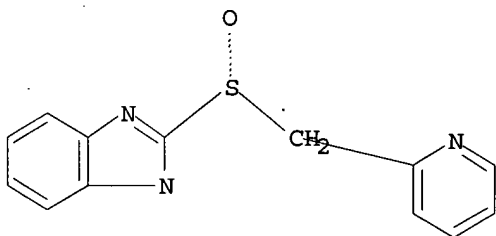
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:12:21 ON 20 MAR 2007.

CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

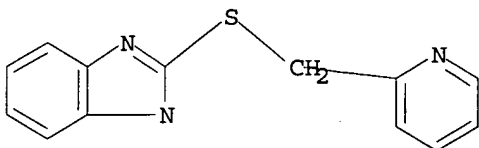
=> d que

L7 STR



Structure attributes must be viewed using STN Express query preparation.

L8 STR



Structure attributes must be viewed using STN Express query preparation.

L9 2415 SEA FILE=REGISTRY SSS FUL L7

L10 3701 SEA FILE=REGISTRY SSS FUL L8

L11 5309 SEA FILE=CAPLUS L9 AND L10

L13 1000 SEA L10 AND L11

L14 6 SEA L13 AND ZIRCONIU?

=> d l14 1-6 ibib abs hitstr

L14 ANSWER 1 OF 6 USPATTULL on STN

ACCESSION NUMBER: 2007:18065 USPATTULL

TITLE: Benzimidazole compound
 INVENTOR(S): Miyazawa, Shuhei, Tsukuba, JAPAN
 Shinoda, Masanobu, Tsukuba, JAPAN
 Kawahara, Tetsuya, Tsukuba, JAPAN
 Watanabe, Nobuhisa, Tsukuba, JAPAN
 Harada, Hitoshi, Tsukuba, JAPAN
 Iida, Daisuke, Tsukuba, JAPAN
 Terauchi, Hiroki, Tsukuba, JAPAN
 Nagakawa, Junichi, Tsukuba, JAPAN
 Fujisaki, Hideaki, Tsukuba, JAPAN
 Kubota, Atsuhiko, Tsukuba, JAPAN
 Ueda, Masato, Tsukuba, JAPAN
 PATENT ASSIGNEE(S): Eisai Co., Ltd. (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007015782	A1	20070118
APPLICATION INFO.:	US 2006-403815	A1	20060414 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2005-117643	20050415
	US 2005-675848P	20050429 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS CHURCH, VA, 22040-0747, US	
NUMBER OF CLAIMS:	41	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7604	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An object of the present invention is to provide a novel chemical compound useful as a therapeutic or prophylactic agent for acid-related diseases, having an excellent inhibitory effect against gastric acid secretion, an excellent effect of maintaining the inhibitory effect against gastric acid secretion, thereby maintaining intragastric pH high for a long time, and having more safety and appropriate physicochemical stability. Provided is a compound represented by ##STR1##

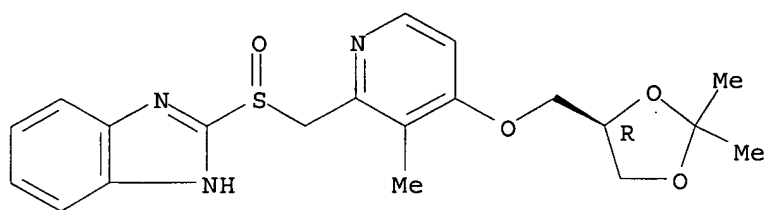
where R.sup.1 and R.sup.3 may be the same or different and each represent a hydrogen atom or a C1-C6 alkyl group; R.sup.2 represents (5,5-dimethyl-1,3-dioxan-2-yl)methoxy group, 5,7-dioxaspiro[2.5]oct-6-ylmethoxy group, 1,5,9-trioxaspiro[5.5]undec-3-ylmethoxy group, or (2,2-dimethyl-1,3-dioxan-5-yl)methoxy group;
 R.sup.4, R.sup.5, R.sup.6 and R.sup.7 represent a hydrogen atom, halogen atom, C1-C6 alkyl group, C1-C6 haloalkyl group, C1-C6 alkoxy group or C1-C6 haloalkoxy group; and W.sup.1 represents a single bond, methylene or ethylene group, a salt thereof or a solvate of these.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 913694-83-4P 913694-84-5P 913695-48-4P
 (preparation of benzimidazole derivs. as gastric acid secretion inhibitors)
 RN 913694-83-4 USPATFULL
 CN 1H-Benzimidazole, 2-[[[4-[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

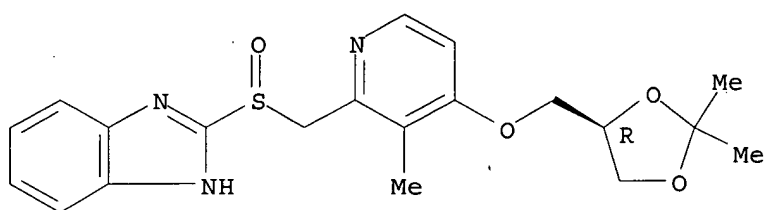
10/536,891



RN 913694-84-5 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

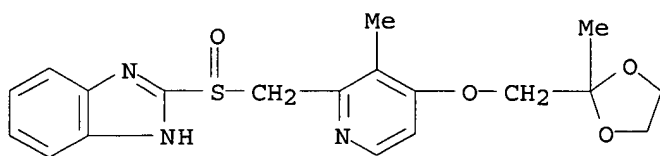
Absolute stereochemistry.



● Na

RN 913695-48-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[3-methyl-4-[(2-methyl-1,3-dioxolan-2-yl)methoxy]-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

IT 913694-93-6P 913694-95-8P 913694-97-0P
913694-99-2P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-93-6 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[[[3-methyl-4-(1,5,9-trioxaspiro[5.5]undec-3-ylmethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 2 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2007:12127 USPATFULL
 TITLE: Benzimidazole compound
 INVENTOR(S): Miyazawa, Shuhei, Tsukuba, JAPAN
 Shinoda, Masanobu, Tsukuba, JAPAN
 Kawahara, Tetsuya, Tsukuba, JAPAN
 Watanabe, Nobuhisa, Tsukuba, JAPAN
 Harada, Hitoshi, Tsukuba, JAPAN
 Iida, Daisuke, Tsukuba, JAPAN
 Terauchi, Hiroki, Tsukuba, JAPAN
 Nagakawa, Junichi, Tsukuba, JAPAN
 Fujisaki, Hideaki, Tsukuba, JAPAN
 Kubota, Atsuhiko, Tsukuba, JAPAN
 Ueda, Masato, Tsukuba, JAPAN
 PATENT ASSIGNEE(S): Eisai Co., Ltd. (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007010542	A1	20070111
APPLICATION INFO.:	US 2006-520838	A1	20060914 (11)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2006-403815, filed on 14 Apr 2006, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2005-117643	20050415
	US 2005-675848P	20050429 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS CHURCH, VA, 22040-0747, US	
NUMBER OF CLAIMS:	41	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7599	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An object of the present invention is to provide a novel chemical compound useful as a therapeutic or prophylactic agent for acid-related diseases, having an excellent inhibitory effect against gastric acid secretion, an excellent effect of maintaining the inhibitory effect against gastric acid secretion, thereby maintaining intragastric pH high for a long time, and having more safety and appropriate physicochemical stability. Provided is a compound represented by ##STR1##

where R.sup.1 and R.sup.3 may be the same or different and each represent a hydrogen atom or a C1-C6 alkyl group; R.sup.2 represents (5,5-dimethyl-1,3-dioxan-2-yl)methoxy group, 5,7-dioxaspiro[2.5]oct-6-ylmethoxy group, 1,5,9-trioxaspiro[5.5]undec-3-ylmethoxy group, or (2,2-dimethyl-1,3-dioxan-5-yl)methoxy group;
 R.sup.4, R.sup.5, R.sup.6 and R.sup.7 represent a hydrogen atom, halogen atom, C1-C6 alkyl group, C1-C6 haloalkyl group, C1-C6 alkoxy group or C1-C6 haloalkoxy group; and W.sup.1 represents a single bond, methylene or ethylene group, a salt thereof or a solvate of these.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 913694-83-4P 913694-84-5P

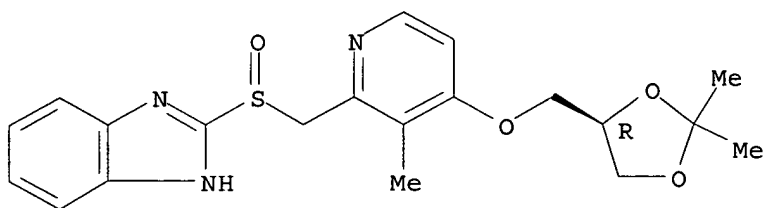
(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-83-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

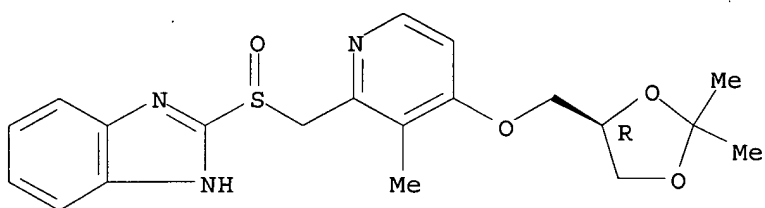
10/536,891



RN 913694-84-5 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

IT 913694-89-0P 913694-91-4P 913694-93-6P

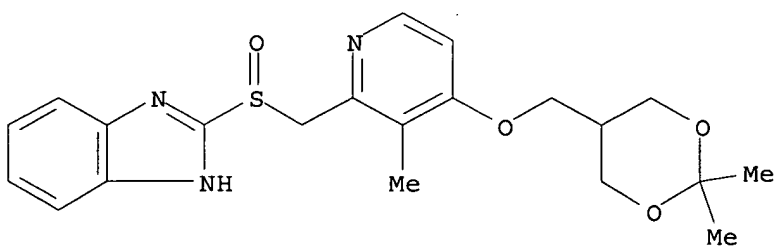
913694-95-8P 913694-97-0P 913694-99-2P

(preparation of benzimidazole derivs. as gastric acid secretion inhibitors)

RN 913694-89-0 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[(2,2-dimethyl-1,3-dioxan-5-yl)methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 913694-91-4 USPATFULL

CN 1H-Benzimidazole, 2-[[[4-[(2,2-dimethyl-1,3-dioxan-5-yl)methoxy]-3-methyl-2-pyridinyl]methyl]sulfinyl]-, (+)- (9CI) (CA INDEX NAME)

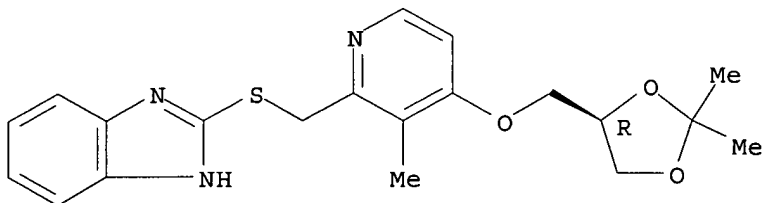
Rotation (+).

10/536,891

RN 913696-36-3 USPATFULL

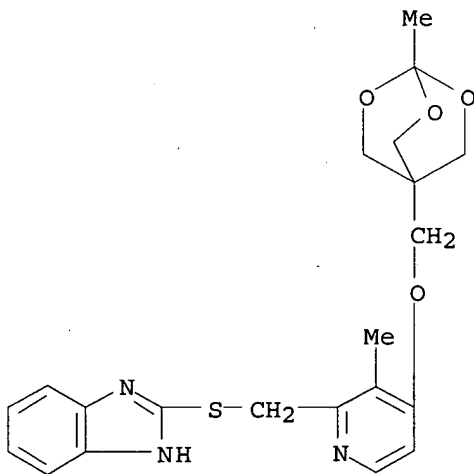
CN 1H-Benzimidazole, 2-[[[4-[[[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]methoxy]-3-methyl-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 913696-40-9 USPATFULL

CN 1H-Benzimidazole, 2-[[[3-methyl-4-[(1-methyl-2,6,7-trioxabicyclo[2.2.2]oct-4-yl)methoxy]-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 6 USPATFULL on STN *

ACCESSION NUMBER: 2006:196505 USPATFULL

TITLE: Process for preparing (s)-pantoprazole

INVENTOR(S): Kohl, Bernhard, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Muller, Bernd, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Steffen, Ralf, Weingart, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006167262	A1	20060727
APPLICATION INFO.:	US 2003-536891	A1	20031203 (10)
	WO 2003-EP13604		20031203
			20051125 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2002-27274	20021206
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	NATH & ASSOCIATES PLLC, 112 South West Street, Alexandria, VA, 22314, US	
NUMBER OF CLAIMS:	23	
EXEMPLARY CLAIM:	1	

10/536,891

LINE COUNT: 589

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a novel process for preparing (S)-pantoprazole using a chiral zirconium complex or a chiral hafnium complex.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

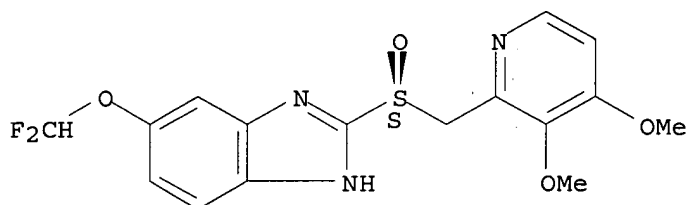
IT 142678-35-1P, (S)-Pantoprazole

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 142678-35-1 USPATFULL

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

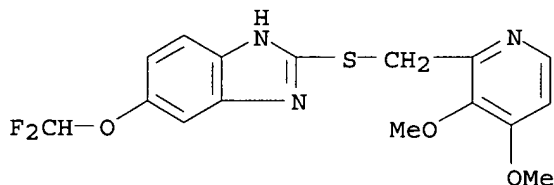


IT 102625-64-9

(preparation of (S)-pantoprazole via stereoselective oxidation of pyridinylmethylsulfinylbenzimidazole derivative in the presence of L-tartaric acid derivative and chiral zirconium or hafnium catalyst)

RN 102625-64-9 USPATFULL

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



L14 ANSWER 4 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2005:331348 USPATFULL

TITLE: Process for preparing optically pure active compounds
INVENTOR(S): Kohl, Bernhard, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Muller, Bernd, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Weingart, Ralf Steffen, Konstanz, GERMANY, FEDERAL REPUBLIC OF

PATENT ASSIGNEE(S): Altana Pharma AG, Konstanz, GERMANY, FEDERAL REPUBLIC OF, 78467 (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005288334	A1	20051229
APPLICATION INFO.:	US 2003-536766	A1	20031203 (10)
	WO 2003-EP13605		20031203
			20050527 PCT 371 date

NUMBER	DATE
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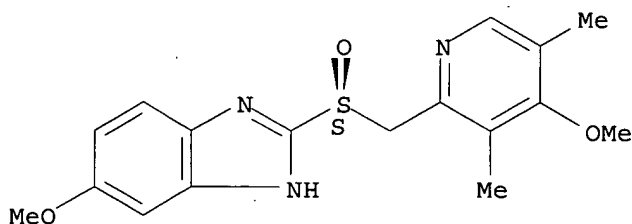
10/536,891

PRIORITY INFORMATION: EP 2002-27273 20021206
DE 2003-10340255 20030829
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: NATH & ASSOCIATES PLLC, 1030 FIFTEENTH STREET, N.W.,
SIXTH FLOOR, WASHINGTON, DC, 20005, US
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 779
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to a novel process for preparing an optically pure
PPI having a sulfinyl structure using a chiral zirconium
complex or a chiral hafnium complex.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

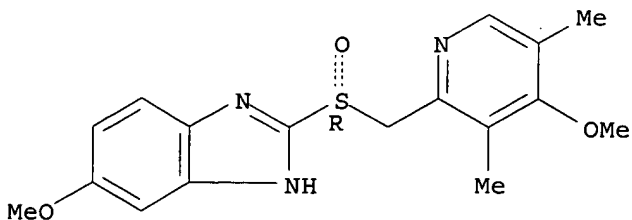
IT 119141-88-7P, (S)-5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 119141-89-8P,
(R)-5-Methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 138530-94-6P, (R)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 138530-95-7P, (S)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole 142678-35-1P
142706-18-1P 161796-78-7P 177795-59-4P,
(S)-2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl)methyl]sulfinyl]-1H-benzimidazole 177795-60-7P, (R)-2-[[[4-(3-Methoxypropoxy)-3-methylpyridin-2-yl)methyl]sulfinyl]-1H-benzimidazole
(preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)
RN 119141-88-7 USPTAFULL
CN 1H-Benzimidazole, 6-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 119141-89-8 USPTAFULL
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

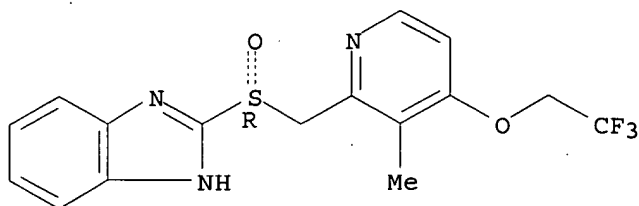


RN 138530-94-6 USPTAFULL
CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-

10/536,891

pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

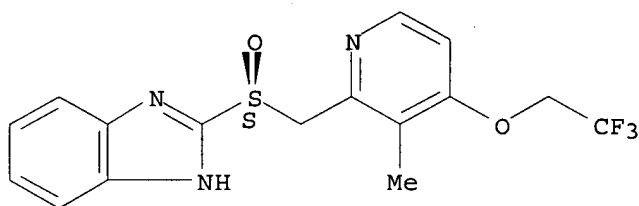
Absolute stereochemistry. Rotation (+).



RN 138530-95-7 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

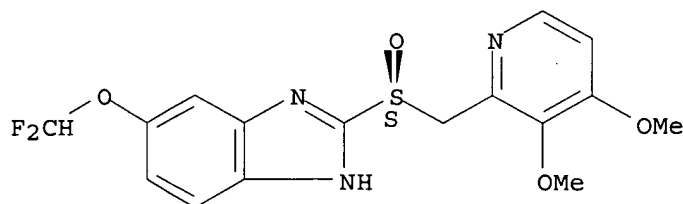
Absolute stereochemistry. Rotation (-).



RN 142678-35-1 USPATFULL

CN 1H-Benzimidazole, 6-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

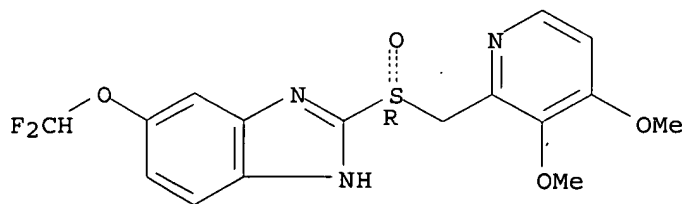
Absolute stereochemistry. Rotation (-).



RN 142706-18-1 USPATFULL

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

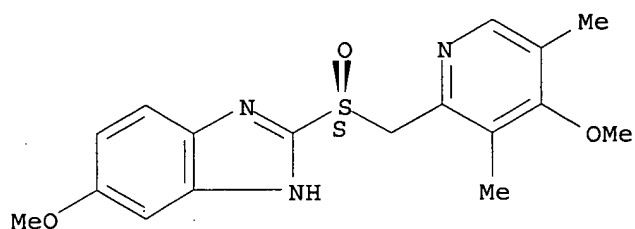


RN 161796-78-7 USPATFULL

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/536,891

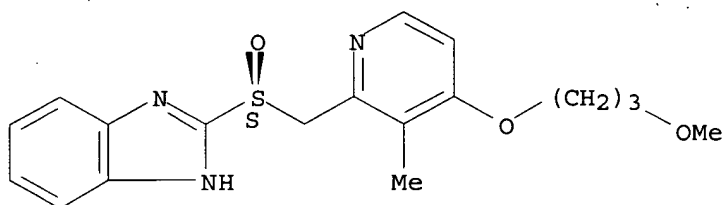


● Na

RN 177795-59-4 USPATFULL

CN 1H-Benzimidazole, 2-[(S)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (CA INDEX NAME)

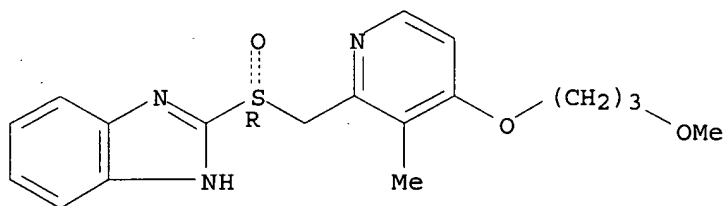
Absolute stereochemistry. Rotation (-).



RN 177795-60-7 USPATFULL

CN 1H-Benzimidazole, 2-[(R)-[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

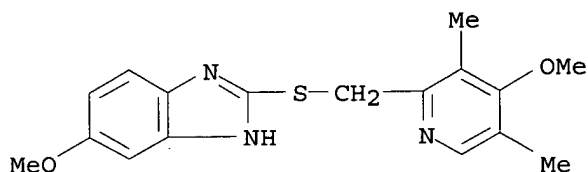


IT 73590-85-9, 5-Methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole 102625-64-9, 5-Difluoromethoxy-2-[[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]-1H-benzimidazole

(reactant; preparing optically pure 2-(2-pyridylmethylsulfinyl)-1H-benzimidazole and -1H-imidazo[4,5-b]pyridine as proton pump inhibitors by oxidation of sulfides in the presence of a chiral zirconium or hafnium complex)

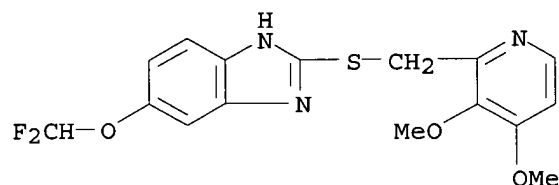
RN 73590-85-9 USPATFULL

CN 1H-Benzimidazole, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 102625-64-9 USPATFULL

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[3,4-dimethoxy-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



L14 ANSWER 5 OF 6 USPATFULL on STN

ACCESSION NUMBER: 2002:265504 USPATFULL

TITLE: Cosmetic products for the reduction of sweat acidity

INVENTOR(S): Beck, Jonathan Samuel, Bebington, UNITED KINGDOM

Burry, Jason Shaun, Bebington, UNITED KINGDOM

Evans, Richard Livesey, Bebington, UNITED KINGDOM

Granger, Dominic, Montreal, CANADA

Laprade, Raynald, Montreal, CANADA

Marsolais, Mireille, Montreal, CANADA

PATENT ASSIGNEE(S): Unilever Home & Personal Care USA, Division of Conopco, Inc. (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002146376	A1	20021010
	US 6509010	B2	20030121
APPLICATION INFO.:	US 2002-66183	A1	20020131 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-2562	20010201
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	UNILEVER, PATENT DEPARTMENT, 45 RIVER ROAD, EDGEWATER, NJ, 07020	
NUMBER OF CLAIMS:	26	
EXEMPLARY CLAIM:	1	
LINE COUNT:	792	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands, said method comprising the topical application of a V-ATPase inhibitor to the skin in the vicinity of the eccrine glands. Said method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compositions comprising a V-ATPase inhibitor and selected other components are also claimed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

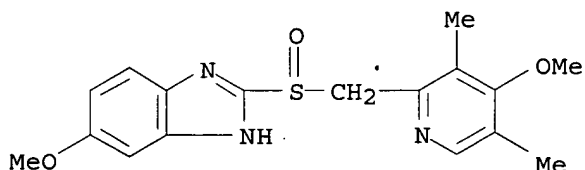
10/536,891

IT 73590-58-6, Omeprazole

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 USPATFULL

CN 1H-Benzimidazole, 6-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)



L14 ANSWER 6 OF 6 USPAT2 on STN

ACCESSION NUMBER: 2002:265504 USPAT2

TITLE: Cosmetic products for the reduction of sweat acidity

INVENTOR(S): Beck, Jonathan Samuel, Wirral, UNITED KINGDOM

Burry, Jason Shaun, Wirral, UNITED KINGDOM

Evans, Richard Livesey, Wirral, UNITED KINGDOM

Granger, Dominic, Montreal, CANADA

Laprade, Raynald, Montreal, CANADA

Marsolais, Mireille, Montreal, CANADA

PATENT ASSIGNEE(S): Unilever Home & Personal Care USA, division of Conopco, Inc., Chicago, IL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6509010	B2	20030121
APPLICATION INFO.:	US 2002-66183		20020131 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-2562	20010201
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Dodson, Shelley A.	
LEGAL REPRESENTATIVE:	Stein, Kevin J.	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	636	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A cosmetic method of reducing the acidity of sweat excreted from human eccrine glands, said method comprising the topical application of a V-ATPase inhibitor to the skin in the vicinity of the eccrine glands. Said method may result in a range of benefits, including enhanced appreciation of topically-applied perfume and enhanced efficacy of topically-applied antiperspirant salt. Cosmetic products and compositions comprising a V-ATPase inhibitor and selected other components are also claimed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

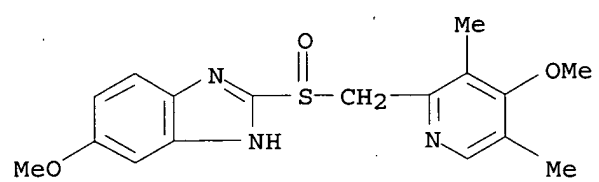
IT 73590-58-6, Omeprazole

(ATPase inhibitor-containing cosmetic products for reduction of sweat acidity)

RN 73590-58-6 USPAT2

CN 1H-Benzimidazole, 6-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (CA INDEX NAME)

10/536,891



=>